

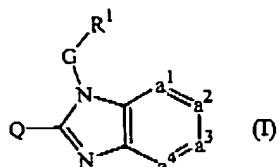
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 Application No.: 10/030,202
 Office Action Dated: June 6, 2003

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This listing of claims will replace all prior versions, and listings, of claims in the application.

Listing of Claims:

1. (currently amended) A method of manufacturing a medicament for the treatment of viral infections, comprising the step of providing a compound of formula

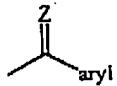


a prodrug, N-oxide, addition salt, quaternary amine, metal complex or stereochemically isomeric form thereof,

wherein $-a^1=a^2-a^3=a^4-$ represents a bivalent radical of formula

- $\cdot\text{CH}=\text{CH}-\text{CH}=\text{CH}\cdot$ (a-1);
- $\cdot\text{N}=\text{CH}-\text{CH}=\text{CH}\cdot$ (a-2);
- $\cdot\text{CH}=\text{N}-\text{CH}=\text{CH}\cdot$ (a-3);
- $\cdot\text{CH}=\text{CH}-\text{N}=\text{CH}\cdot$ (a-4); or
- $\cdot\text{CH}=\text{CH}-\text{CH}=\text{N}\cdot$ (a-5);

wherein each hydrogen atom in the radicals radical (a-1), (a-2), (a-3), (a-4) and (a-5) may optionally be replaced by halo, C₁₋₆alkyl, nitro, amino, hydroxy, C₁₋₆alkyloxy, polyhaloC₁₋₆alkyl, carboxyl, aminoC₁₋₆alkyl, mono- or di(C₁₋₄alkyl)aminoC₁₋₆alkyl, C₁₋₆alkyloxycarbonyl, hydroxyC₁₋₆alkyl, or a radical of formula

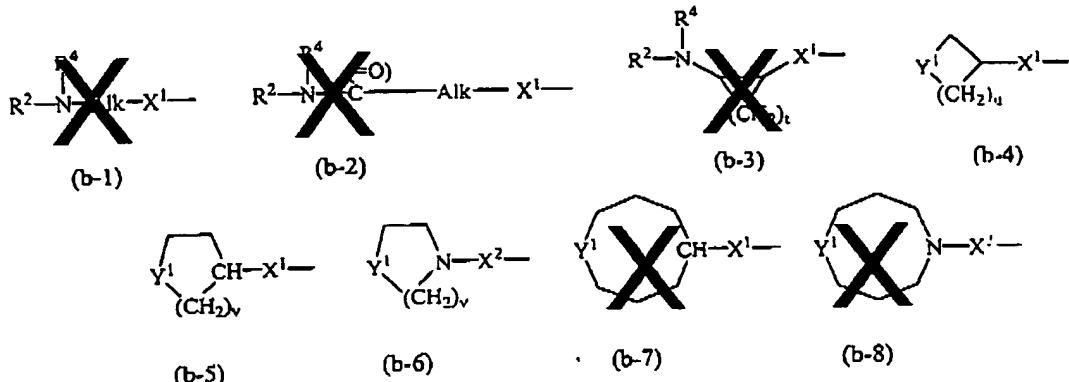


wherein =Z Z is =O, =CH-C(=O)-NR^{5a}R^{5b}, -CH₂, -CH-C₁₋₆alkyl, -N-OH or =N-O-C₁₋₆alkyl-O, CH-C(=O)-NR^{5a}R^{5b}, CH₂, CH-C₁₋₆alkyl, N-OH or N-O-C₁₋₆alkyl;

Q is a radical of formula

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wherein **Alk** is C_{1-6} alkanediyl;

Y¹ is a bivalent radical of formula $-NR^2-$ or $-CH(NR^2R^4)-$;

X¹ is NR^4 , S, $S(=O)$, $S(=O)_2$, O, CH_2 , $C(=O)$, $C(=CH_2)$, $CH(OH)$, $CH(CH_3)$, $CH(OCH_3)$, $CH(SCH_3)$, $CH(NR^{5a}R^{5b})$, CH_2-NR^4 or NR^4-CH_2 ;

X² is a direct bond, CH_2 , $C(=O)$, NR^4 , C_{1-4} alkyl- NR^4 , NR^4-C_{1-4} alkyl;

t is 2, 3, 4 or 5;

u is 1, 2, 3, 4 or 5 2 or 3;

v is 2 or 3; and

whereby each hydrogen atom in **Alk** and the carbocycles and the heterocycles defined in radicals (b-3), (b-4), (b-5), and (b-6), (b-7) and (b-8) may optionally be replaced by R^3 ; in radicals (b-3), (b-4), (b-5), and (b-6), (b-7) and (b-8) may optionally be replaced by R^3 ; with the proviso that when R^3 is hydroxy or C_{1-6} alkyloxy, then R^3 can not replace a hydrogen atom in the α position relative to a nitrogen atom;

G is a direct bond or C_{1-10} alkanediyl;

R¹ is a monocyclic heterocycle selected from piperidinyl, piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, pyrrolyl, furanyl, tetrahydrofuran, thienyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, isoxazolyl, oxadiazolyl, and isothiazolyl; and each heterocycle may optionally be substituted with 1 or where possible more, such as 2, 3 or 4, substituents selected from halo, hydroxy, amino, cyano, carboxy, C_{1-6} alkyl, C_{1-6} alkyloxy, C_{1-6} alkylthio, C_{1-6} alkyloxy C_{1-6} alkyl, aryl, aryl C_{1-6} alkyl, aryl C_{1-6} alkyloxy, hydroxy C_{1-6} alkyl, mono- or di(C_{1-6} alkyl)amino, mono- or di(C_{1-6} alkyl)amino C_{1-6} alkyl, polyhalo C_{1-6} alkyl, C_{1-6} alkylcarbonylamino, C_{1-6} alkyl-SO₂-NR^{5c}-, aryl-SO₂-NR^{5c}-, C_{1-6} alkyloxycarbonyl,

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$-C(=O)-NR^{5c}R^{5d}$, $HO(-CH_2-CH_2-O)_n-$, halo($-CH_2-CH_2-O)_n-$, $C_{1-6}alkyloxy(-CH_2-CH_2-O)_n-$, aryl $C_{1-6}alkyloxy(-CH_2-CH_2-O)_n-$ and mono- or di($C_{1-6}alkyl$)amino($-CH_2-CH_2-O)_n-$; each n independently is 1, 2, 3 or 4;

R^2 is hydrogen, formyl, $C_{1-6}alkylcarbonyl$, Hetcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, C_{3-7} cycloalkyl substituted with $N(R^6)_2$, or $C_{1-10}alkyl$ substituted with $N(R^6)_2$ and optionally with a second, third or fourth substituent selected from amino, hydroxy, C_{3-7} cycloalkyl, C_{2-5} alkanediyl, piperidinyl, mono- or di($C_{1-6}alkyl$)amino, $C_{1-6}alkyloxycarbonylamino$, aryl and aryloxy;

R^3 is hydrogen, hydroxy, $C_{1-6}alkyl$, $C_{1-6}alkyloxy$, aryl $C_{1-6}alkyl$ or aryl $C_{1-6}alkyloxy$;

R^4 is hydrogen, $C_{1-6}alkyl$ or aryl $C_{1-6}alkyl$;

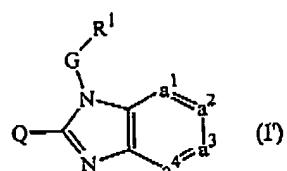
R^{5a} , R^{5b} , R^{5c} and R^{5d} each independently are hydrogen or $C_{1-6}alkyl$; or

R^{5a} and R^{5b} , or R^{5c} and R^{5d} taken together form a bivalent radical of formula $-(CH_2)_s-$ wherein s is 4 or 5;

R^6 is hydrogen, $C_{1-4}alkyl$, formyl, hydroxy $C_{1-6}alkyl$, $C_{1-6}alkylcarbonyl$ or $C_{1-6}alkyloxycarbonyl$;

aryl is phenyl or phenyl substituted with 1 or more, such as 2, 3 or 4, substituents selected from halo, hydroxy, $C_{1-6}alkyl$, hydroxy $C_{1-6}alkyl$, polyhalo $C_{1-6}alkyl$, and $C_{1-6}alkyloxy$; and

Het is pyridyl, pyrimidinyl, pyrazinyl, or pyridazinyl.

2. (currently amended)**A compound of formula (I')**

a prodrug, *N*-oxide, addition salt, quaternary amine, metal complex or stereochemically isomeric form thereof, wherein $-a^1=a^2-a^3=a^4-$ represents a radical of formula

$-CH=CH-CH=CH-$ (a-1);

$\begin{array}{c} \text{N} \\ | \\ \text{---CH---CH---CH---} \end{array}$ (a-2);

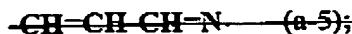
$\begin{array}{c} \text{CH} \\ | \\ \text{---CH---N---CH---CH---} \end{array}$ (a-3);

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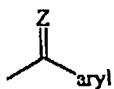
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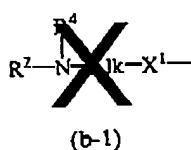


wherein each hydrogen atom in the radicals (a-1), (a-2), (a-3), (a-4) and (a-5) may optionally be replaced by halo, C₁₋₆alkyl, nitro, amino, hydroxy, C₁₋₆alkyloxy, polyhaloC₁₋₆alkyl, carboxyl, aminoC₁₋₆alkyl, mono- or di(C₁₋₄alkyl)aminoC₁₋₆alkyl, C₁₋₆alkyloxycarbonyl, hydroxyC₁₋₆alkyl, or a radical of formula

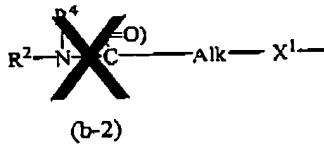


wherein =Z Z is =O, =CH-C(=O)-NR^{5a}R^{5b}, -CH₂, -CH-C₁₋₆alkyl, -N-OH or =N-O-C₁₋₆alkyl, O, CH-C(=O)-NR^{5a}R^{5b}, CH₂, CH-C₁₋₆alkyl, N-OH or N-O-C₁₋₆alkyl;

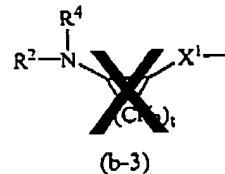
Q is a radical of formula



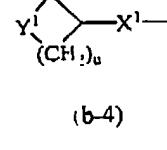
(b-1)



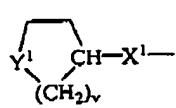
(b-2)



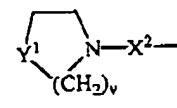
(b-3)



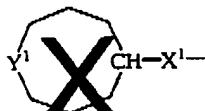
(b-4)



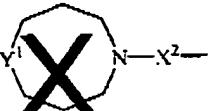
(b-5)



(b-6)



(b-7)



(b-8)

wherein Alk is C₁₋₆alkanediyl;

Y¹ is a bivalent radical of formula -NR²- or -CH(NR²R⁴)-;

X¹ is NR⁴, S, S(=O), S(=O)₂, O, CH₂, C(=O), C(=CH₂), CH(OH), CH(CH₃), CH(OCH₃), CH(SCH₃), CH(NR^{5a}R^{5b}), CH₂-NR⁴ or NR⁴-CH₂;

X² is a direct bond, CH₂, C(=O), NR⁴, C₁₋₄alkyl-NR⁴, NR⁴-C₁₋₄alkyl;

t is 2, 3, 4 or 5;

u is 1, 2, 3, 4 or 5; 2 or 3;

v is 2 or 3; and

whereby each hydrogen atom in Alk and the carbocycles and the heterocycles defined in radicals (b-3), (b-4), (b-5), and (b-6), (b-7) and (b-8) may optionally be replaced by R³;

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with the proviso that when R³ is hydroxy or C₁₋₆alkyloxy, then R³ can not replace a hydrogen atom in the α position relative to a nitrogen atom;

G is a direct bond or C₁₋₁₀alkanediyl;

R¹ is a monocyclic heterocycle selected from pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, pyrrolyl, imidazolyl and pyrazolyl; and each heterocycle may optionally be substituted with 1 or where possible more, such as 2, 3 or 4, substituents selected from halo, hydroxy, amino, cyano, carboxy, C₁₋₆alkyl, C₁₋₆alkyloxy, C₁₋₆alkylthio, C₁₋₆alkyloxyC₁₋₆alkyl, aryl, arylC₁₋₆alkyl, arylC₁₋₆alkyloxy, hydroxyC₁₋₆alkyl, mono- or di(C₁₋₆alkyl)amino, mono- or polyhaloC₁₋₆alkyl, C₁₋₆alkylcarbonylamino, C₁₋₆alkyl-SO₂-NR^{5c}-, di(C₁₋₆alkyl)aminoC₁₋₆alkyl, polyhaloC₁₋₆alkyl, C₁₋₆alkyloxycarbonyl, -C(=O)-NR^{5c}R^{5d}, HO(-CH₂-CH₂-O)_n-, halo(-CH₂-aryl-SO₂-NR^{5c}-, C₁₋₆alkyloxycarbonyl, -C(=O)-NR^{5c}R^{5d}, HO(-CH₂-CH₂-O)_n-, halo(-CH₂-O)_n-, C₁₋₆alkyloxy(-CH₂-CH₂-O)_n-, arylC₁₋₆alkyloxy(-CH₂-CH₂-O)_n-, and mono- or di(C₁₋₆alkyl)amino(-CH₂-CH₂-O)_n-,

each n independently is 1, 2, 3 or 4;

R² is hydrogen, formyl, pyrrolidinyl, piperidinyl, homopiperidinyl, C₃₋₇cycloalkyl substituted with N(R⁶)₂, or C₁₋₁₀alkyl substituted with N(R⁶)₂ and optionally with a second, third or fourth substituent selected from amino, hydroxy, C₃₋₇cycloalkyl, C₂₋₅alkanediyl, piperidinyl, mono- or di(C₁₋₆alkyl)amino, C₁₋₆alkyloxycarbonylamino, aryl and aryloxy;

R³ is hydrogen, hydroxy, C₁₋₆alkyl, C₁₋₆alkyloxy, arylC₁₋₆alkyl or arylC₁₋₆alkyloxy;

R⁴ is hydrogen, C₁₋₆alkyl or arylC₁₋₆alkyl;

R^{5a}, R^{5b}, R^{5c} and R^{5d} each independently are hydrogen or C₁₋₆alkyl; or

R^{5a} and R^{5b}, or R^{5c} and R^{5d} taken together form a bivalent radical of formula -(CH₂)_s- wherein s is 4 or 5;

R⁶ is hydrogen, C₁₋₄alkyl, formyl, hydroxyC₁₋₆alkyl, C₁₋₆alkylcarbonyl or C₁₋₆alkyloxycarbonyl;

aryl is phenyl or phenyl substituted with 1 or more, such as 2, 3 or 4, substituents selected from halo, hydroxy, C₁₋₆alkyl, hydroxyC₁₋₆alkyl, polyhaloC₁₋₆alkyl, and C₁₋₆alkyloxy;

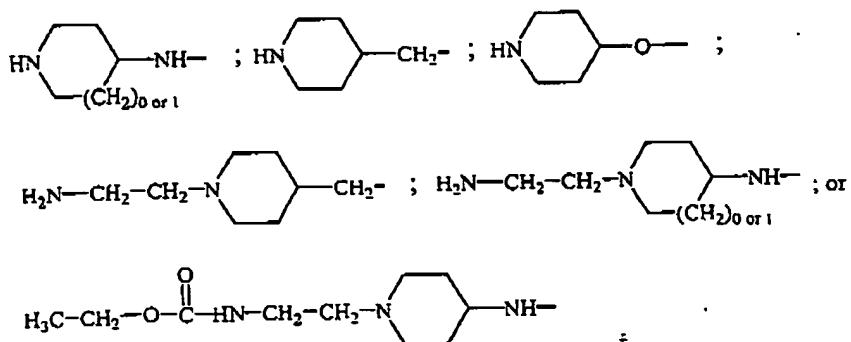
provided that when G is methylene, and R¹ is 2-pyridyl, 3-pyridyl, 6-methyl-2-pyridyl, 2-pyrazinyl or 5-methyl-imidazol-4-yl, and $a^1=a^3-a^3=a^4$ is $\text{---CH=CH---CH=CH---}$ or $\text{---N---CH=CH---CH=CH---}$, then Q is other than

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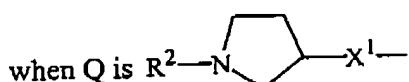
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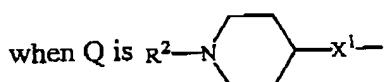


3. (previously presented) A compound as claimed in claim 2, wherein:



wherein X¹ is NR⁴, O, S, S(=O), S(=O)₂, CH₂, C(=O), C(=CH₂) or CH(CH₃), then R¹ is other than pyridyl, pyridyl substituted with C₁₋₆alkyl, pyrimidinyl, pyrazinyl, imidazolyl and imidazolyl substituted with C₁₋₆alkyl.

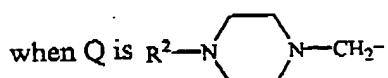
4. (previously presented) A compound as claimed in claim 2, wherein:



wherein X¹ is NR⁴, O, S, S(=O), S(=O)₂, CH₂, C(=O), C(=CH₂) or CH(CH₃), then R¹ is other than pyridyl, pyridyl substituted with C₁₋₆alkyl, pyridyl substituted with 1 or 2 C₁₋₆alkyloxy, pyrazinyl, pyrrolyl, pyrrolyl substituted with C₁₋₆alkyl, imidazolyl and imidazolyl substituted with C₁₋₆alkyl.

5. (cancelled)

6. (previously presented) A compound as claimed in claim 2, wherein:



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then R¹ is other than pyridyl, pyrimidinyl, pyrazinyl, imidazolyl and imidazolyl substituted with C₁-alkyl.

7. (cancelled)

8. (currently amended) A compound as claimed in claim 2, wherein the compound is:

(±)-2-[[2-[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-7-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride monohydrate;
(±)-2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl-3-pyridinol;
(±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6-chloro-1-[(1,4-dimethyl-1H-imidazol-5-yl)methyl]-1H-benzimidazol-2-amine monohydrate;
(±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6-chloro-1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-amine;
(±)-2-[[2-[(3-amino-2-hydroxypropyl)amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol;
N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(3-(2-ethoxyethoxy)-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-amine tetrahydrochloride dihydrate;
(±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-chloro-1,4-dimethyl-1H-imidazol-5-yl)methyl]-1H-benzimidazol-2-amine;
(±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6-chloro-1-[(2-chloro-1,4-dimethyl-1H-imidazol-5-yl)methyl]-1H-benzimidazol-2-amine;
(±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6-methyl-1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-amine;
(±)-N-[1-(2-aminopropyl)-4-piperidinyl]-1-[(3,5,6-trimethylpyrazinyl)methyl]-1H-benzimidazol-2-amine tetrahydrochloride trihydrate;
(±)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(3,5,6-trimethylpyrazinyl)methyl]-1H-benzimidazol-2-amine;
N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(3-(2-chloroethoxy)-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-amine trihydrochloride dihydrate;

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(\pm)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[3-amino-2-pyridinyl)methyl]-1H-benzimidazol-2-amine tetrahydrochloride trihydrate;
2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride;
~~(\pm) 2-[[2-[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-7-methyl-1H-imidazo[4,5-b]pyridin-3-yl]methyl]-6-methyl-3-pyridinol;~~
2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-6-chloro-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride 2-propanolate (1:1);
(\pm)-2-[[2-[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol;
(\pm)-2-[[2-[[1-(2-aminopropyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride trihydrate;
2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-7-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride dihydrate;
2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-6-bromo-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride;
2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride monohydrate;
(\pm)-2-[[2-[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol;
(\pm)-N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-amine;
a prodrug, N-oxide, addition salt, quaternary amine, metal complex or stereochemically isomeric form thereof.

9. (*currently amended*) A compound, wherein the compound is:
2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-5-chloro-7-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol tetrahydrochloride tetrahydrate;
N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2,4-dimethyl-5-oxazolyl)methyl]-1H-benzimidazol-2-amine;

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N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2,5-dimethyl-4-oxazolyl)methyl]-1H-benzimidazol-2-amine trihydrochloride monohydrate;

~~4-[(3-[(5-methoxymethyl)-2-furanyl]methyl]-3H-imidazo[4,5-b]pyridine-2-yl)methyl]-1-piperidineethanamine;~~

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(5-methyl-3-isoxazolyl)methyl]-1H-benzimidazol-2-amine trihydrochloride monohydrate;

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-amine monohydrate;

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-amine trihydrochloride monohydrate;

~~N-[1-(2-aminoethyl)-4-piperidinyl]-3-[(2,4-dimethyl-5-oxazolyl)methyl]-3H-imidazo[4,5-b]pyridin-2-amine;~~

~~4-[(3-[(2-methyl-5-oxazolyl)methyl]-3H-imidazo[4,5-b]pyridin-2-yl)methyl]-1-piperazineethanamine;~~

N-[1-(2-aminoethyl)-4-piperidinyl]-1-(4-thiazolylmethyl)-1H-benzimidazol-2-amine;

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(5-phenyl-1,2,4-oxadiazol-3-yl)methyl]-1H-benzimidazol-2-amine trihydrochloride;

5-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl)methyl]-2-oxazolemethanol tetrahydrochloride dihydrate;

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(3-methyl-5-isoxazolyl)methyl]-1H-benzimidazol-2-amine trihydrochloride monohydrate;

4-[[1-[[2-(dimethylamino)-4-thiazolyl]methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidineethanamine tetrahydrochloride monohydrate 2-propanolate (1:1);

ethyl 5-[[2-[[1-2-[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl)methyl]-2-methyl-4-oxazolecarboxylate;

4-[[1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidineethanamine;

N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-3-furanyl)methyl]-1H-benzimidazol-2-amine;

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ethyl 4-[(3-(3-hydroxy-6-methyl-2-pyridinyl)methyl]-7-methyl-3H-imidazo[4,5-b]pyridine-2-yl]amino]-1-piperidinecarboxylate;

1,1-dimethylethyl 4-[[1-[(3-[2-(dimethylamino)ethoxy]-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino-1-piperidinecarboxylate;

ethyl 4-[[1-[(3-amino-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinecarboxylate;

N-[1-(6-methyl-2-pyridinyl)-1H-benzimidazol-2-yl]-1-(3-pyridinylcarbonyl)-4-piperidinamine;

a prodrug, N-oxide, addition salt, quaternary amine, metal complex or stereochemically isomeric form thereof.

10. (currently amended) A method of treating a viral infection, comprising the step of administering a therapeutically effective amount of said compound according to any of claim 2 to 9 using as a medicine a compound as claimed in any one of claims 2 to 9.

11. (currently amended) A method of manufacturing a medicament for the treatment of viral infections, comprising the step of providing the compound as claimed in any one of claims 2 to claim 9.

12. (currently amended) The method of claim 1, 10 or 11, wherein said viral infection is a respiratory syncytial virus infection.

13. (previously presented) A pharmaceutical composition, comprising a pharmaceutically acceptable carrier and as active ingredient a therapeutically effective amount of a compound as claimed in any one of claims 2 to 9.

14. (previously presented) A process of preparing a composition as claimed in claim 13, comprising the step of intimately mixing said carrier with said compound.

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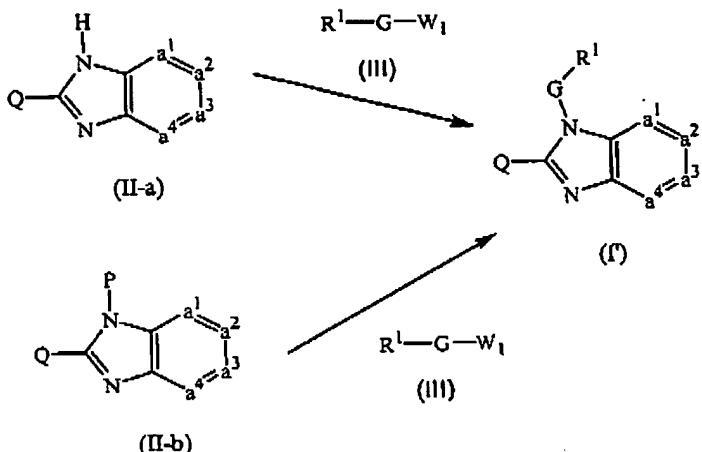
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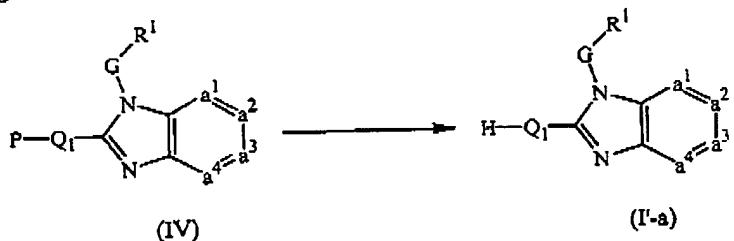
15. (*currently amended*) A process of preparing a compound as claimed in claim 2, comprising at least one step selected from the group consisting of:

- a) reacting an intermediate of formula (II-a) or (II-b) with an intermediate of formula (III)



with R¹, G, Q and -a¹=a²-a³=a⁴- defined as in claim 2, and W₁ being a suitable leaving group, in the presence of a suitable base and in a suitable reaction-inert solvent;

- b) deprotecting an intermediate of formula (IV)



with R¹, G, and -a¹=a²-a³=a⁴- defined as in claim 2, H-Q₁ being defined as Q according to claim 2 provided that R² or at least one R⁶ substituent is hydrogen, and P being a protective group;

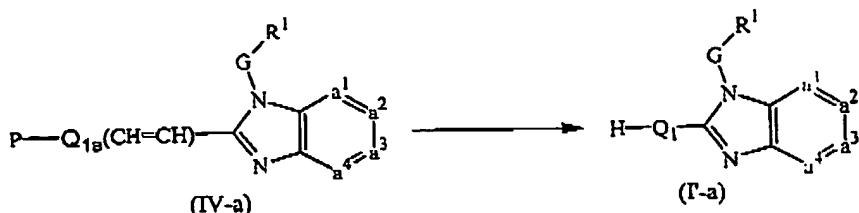
- c) deprotecting and reducing an intermediate of formula (IV-a)

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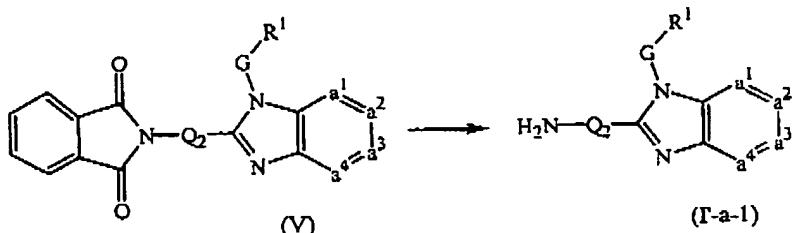
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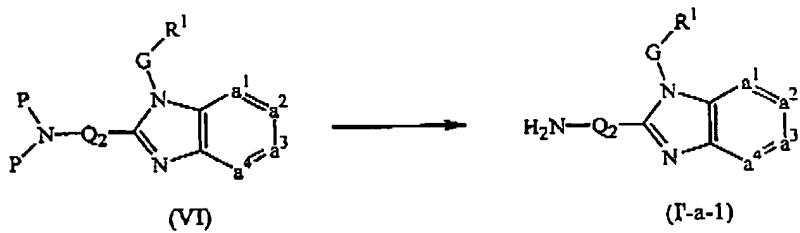
with R¹, G, and -a¹=a²-a³=a⁴- defined as in claim 2, H-Q₁ being defined as Q according to claim 2 provided that R² or at least one R⁶ substituent is hydrogen, Q_{1a}(CH=CH) being defined as Q₁ provided that Q₁ comprises an unsaturated bond, and P being a protective group;

- d) deprotecting an intermediate of formula (V)



with R¹, G, and -a¹=a²-a³=a⁴- defined as in claim 2, and H₂N-Q₂ being defined as Q according to claim 2 provided that both R⁶ substituents are hydrogen or R² and R⁴ are both hydrogen;

- e) deprotecting an intermediate of formula (VI)

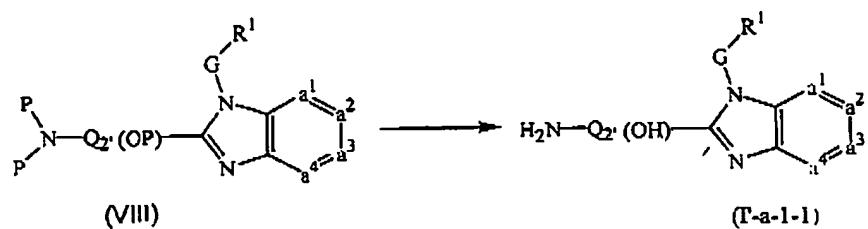
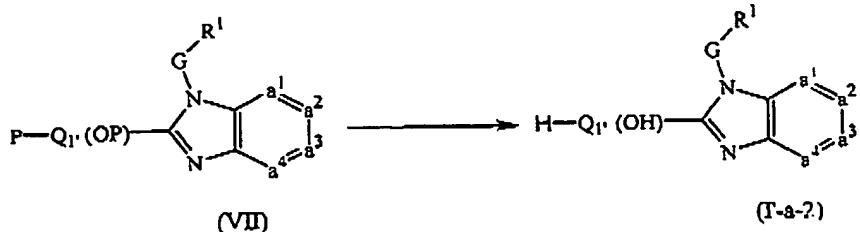


with R¹, G, and -a¹=a²-a³=a⁴- defined as in claim 2, and H₂N-Q₂ being defined as Q according to claim 2 provided that both R⁶ substituents are hydrogen or R² and R⁴ are both hydrogen, and P being a protective group;

- f) deprotecting an intermediate of formula (VII) or (VIII)

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with R¹, G, and -a¹=a²-a³=a⁴- defined as in claim 2, H-Q₁·(OH) being defined as Q according to claim 2 provided that R² or at least one R⁶ substituent is hydrogen and provided that Q comprises a hydroxy moiety, H₂N-Q₂·(OH) being defined as Q according to claim 2 provided that both R⁶ substituents are hydrogen or R² and R⁴ are both hydrogen and provided that Q comprises a hydroxy moiety, and P being a protective group;

g)amination of an intermediate of formula (IX)



with R¹, G, and -a¹=a²-a³=a⁴- defined as in claim 2, and H₂N-Q₃H being defined as Q according to claim 2 provided that both R⁶ substituents are hydrogen or R² and R⁴ are both hydrogen, and the carbon adjacent to the nitrogen carrying the R⁶, or R² and R⁴ substituents contains at least one hydrogen, in the presence of a suitable an amination reagent;

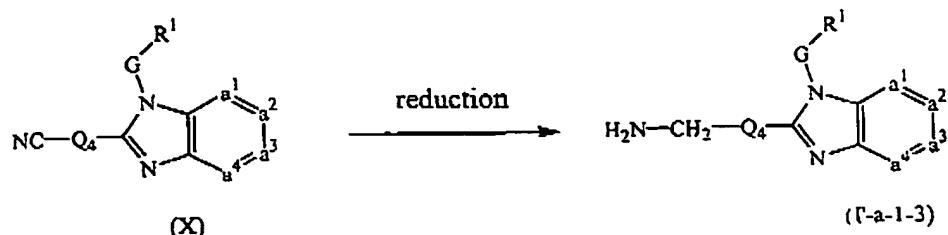
h) reducing an intermediate of formula (X)

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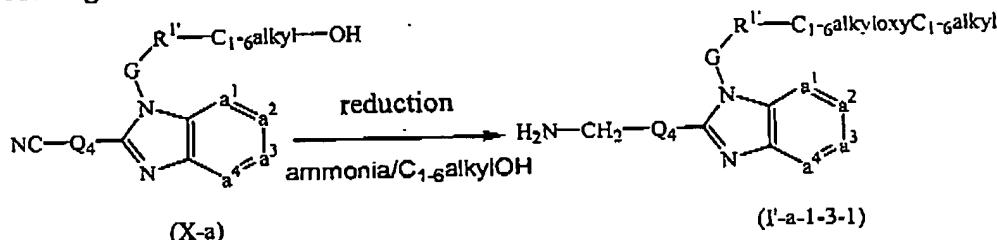
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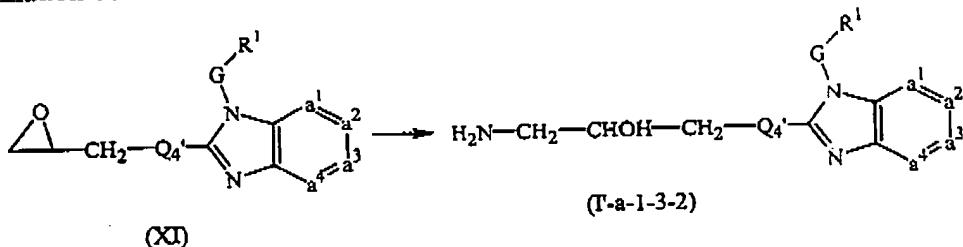
with R¹, G, and -a¹=a²-a³=a⁴ defined as in claim 2, and H₂N-CH₂-Q₄ being defined as Q according to claim 2 provided that Q comprises a -CH₂-NH₂ moiety, in the presence of a suitable reducing agent;

- i) reducing an intermediate of formula (X-a)



with G, and $-a^1 = a^2 - a^3 = a^4$ defined as in claim 2, $H_2N-CH_2-Q_4$ being defined as Q according to claim 2 provided that Q comprises a $-CH_2-NH_2$ moiety, and $R^{1'}$ being defined as R^1 according to claim 2 provided that it comprises at least one substituent, in the presence of a suitable reducing agent and suitable solvent;

- i) amination of an intermediate of formula (XI)

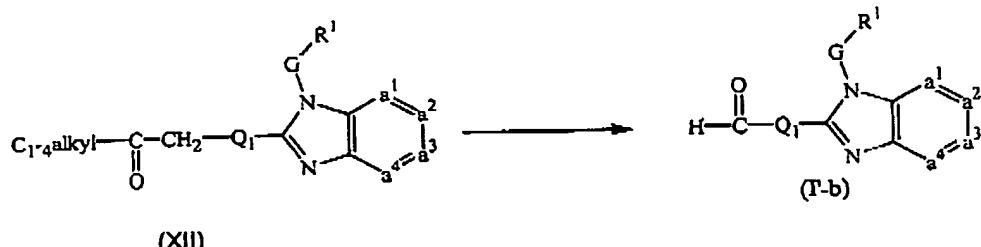


with R¹, G, and -a¹=a²-a³=a⁴- defined as in claim 2, and H₂N-CH₂-CHOH-CH₂-Q⁴ being defined as Q according to claim 2 provided that Q comprises a CH₂-CHOH-CH₂-NH₂ moiety, in the presence of a suitable an amination reagent;

- k) reacting an intermediate of formula (XII) with formic acid, formamide and ammonia

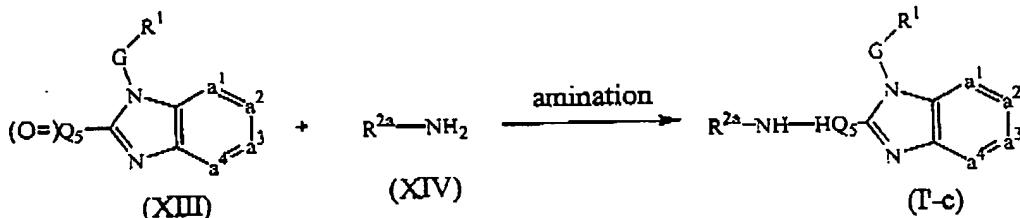
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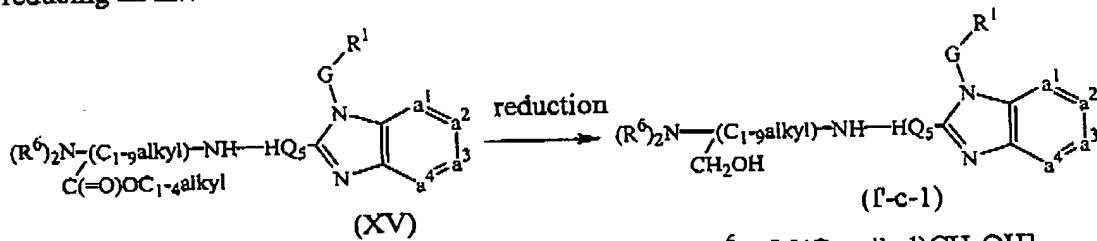
with R¹, G, and -a¹=a²-a³=a⁴- defined as in claim 2, and H-C(=O)-Q₁ being defined as Q according to claim 2 provided that R² or at least one R⁶ substituent is formyl;

- 1) amination of an intermediate of formula (XIII) by reaction with an intermediate of formula (XIV)



with R¹, G, and -a¹=a²-a³=a⁴- defined as in claim 2, and R^{2a}-NH-HQ₅ being defined as Q according to claim 2 provided that R² is other than hydrogen and is represented by R^{2a}, R⁴ is hydrogen, and the carbon atom adjacent to the nitrogen atom carrying the R² and R⁴ substituents, carries also at least one hydrogen atom, in the presence of a suitable reducing agent;

- m) reducing an intermediate of formula (XV)



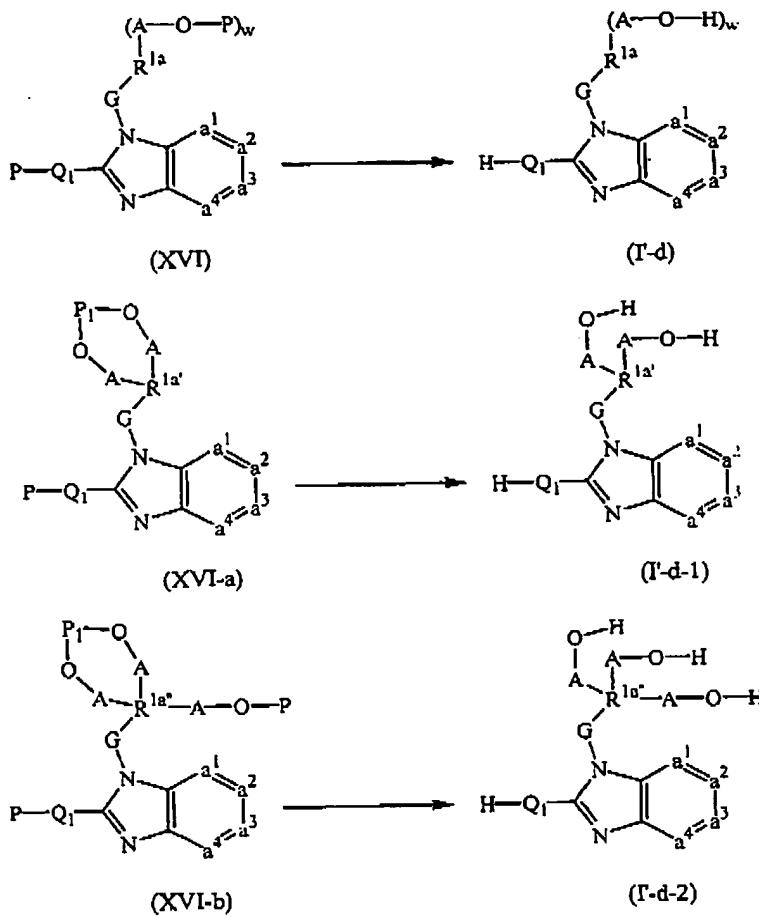
with R^1 , G, and $-a^1 = a^2 - a^3 = a^4$ defined as in claim 2, and $(R^6)_2N-[(C_{1-9}alkyl)CH_2OH]-NH-HQ_5$ being defined as Q according to claim 2 provided that R^2 is other than hydrogen and is represented by $C_{1-10}alkyl$ substituted with $N(R_6)_2$ and with hydroxy, and the carbon atom carrying the hydroxy, carries also two hydrogen atoms, and provided that R^4 is hydrogen, and the carbon atom adjacent to the nitrogen atom

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carrying the R² and R⁴ substituents, carries also at least one hydrogen atom, with a suitable reducing agent;

- n) deprotecting an intermediate of formula (XVI), (XVI-a) or (XVI-b)



with G, and $-a^1=a^2-a^3=a^4-$ defined as in claim 2, and H-Q₁ being defined as Q according to claim 2 provided that R² or at least one R⁶ substituent is hydrogen, and R^{1a}-(A-O-H)_w, R^{1a'}-(A-O-H)₂ and R^{1a''}-(A-O-H)₃ being defined as R¹ according to claim 2 provided that R¹ is substituted with hydroxy, hydroxyC₁₋₆alkyl, or HO(-CH₂-CH₂-O)_n-, with w being an integer from 1 to 4 and P or P₁ being a **suitable** protecting group, with **a suitable an** acid;

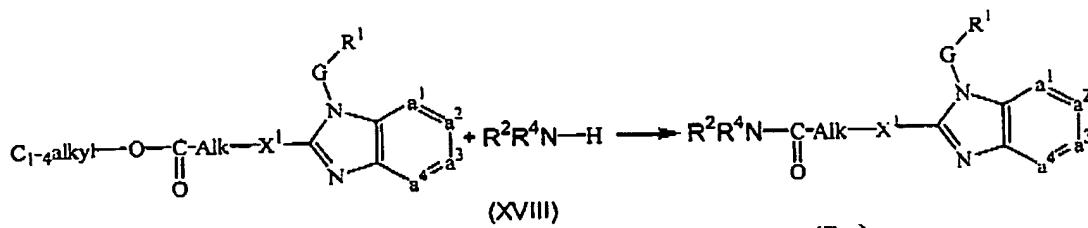
- o) amination of an intermediate of formula (XVII)

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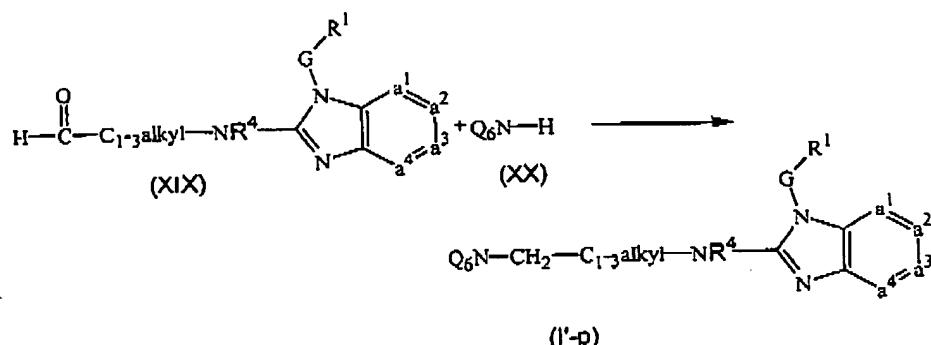
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(XVII) (I-e)
with R^1 , G , $-a^1 = a^2 - a^3 = a^4$, Alk, $X^1 R^2$ and R^4 defined as in claim 2, in the presence of
a suitable amimation agent; and

p) amination of an intermediate of formula (XLIX)



with R¹, G, and -a¹=a²-a³=a⁴- defined as in claim 2, and Q₆N-CH₂-C₁₋₃alkyl-NR⁴ being defined as Q according to claim 2 provided that in the definition of Q, X² is C₂₋₄alkyl-NR⁴, in the presence of a suitable an amination agent.

16. (*cancelled*)

17. (cancelled)

18. (previously presented) The process of claim 15, further comprising the step of converting compound of formula (I'), stereochemically isomeric forms, metal complexes, quaternary amines or N-oxide forms thereof, into a therapeutically active non-toxic acid addition salt by treatment with an acid.

19. (previously presented) The process of claim 15, further comprising the step of converting compound of formula (I'), stereochemically isomeric forms, metal complexes,

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quaternary amines or *N*-oxide forms thereof, into a therapeutically active non-toxic base addition salt by treatment with alkali.

20. (*previously presented*) The process of claim 15, further comprising the step of converting the acid addition salt form of compound of formula (I'), stereochemically isomeric forms, metal complexes, quaternary amines or *N*-oxide forms thereof, into the free base by treatment with alkali.

21. (*previously presented*) The process of claim 15, further comprising the step of converting the base addition salt form of compound of formula (I'), stereochemically isomeric forms, metal complexes, quaternary amines or *N*-oxide forms thereof, into the free acid by treatment with acid.

22. (*withdrawn*) The process of claim 15, further comprising the step of converting said compound of formula (I'), stereochemically isomeric form, metal complex, quaternary amine or *N*-oxide form thereof, into a different form of compound of formula (I'), stereochemically isomeric form, metal complex, quaternary amine or *N*-oxide form thereof